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(74) Agent: BECKER, Konrad; Aeschenvorstadt 24, P.O. Box  
318, CH-4010 Basel (CH).

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(71) Applicant (for all designated States except US): EPFL  
ECOLE POLYTECHNIQUE FEDERALE DE LAU-  
SANNÉ [CH/CH]; CM - Ecublens, CH-1015 Lausanne  
(CH).

(72) Inventors; and

(75) Inventors/Applicants (for US only): JACCARD, Hughes  
[CH/CH]; Cheminet 24, CH-1400 Yverdon-les-Bains  
(CH). JOHNSON, Kai [DE/CH]; Rue du Midi 20,  
CH-1003 Lausanne (CH). KINDERMANN, Maik  
[DE/CH]; Meltingerstrasse 7, CH-4053 Basel (CH).  
SIELAFF, India, Christina [DE/CH]; Rue du Midi 14,  
CH-1003 Lausanne (CH).

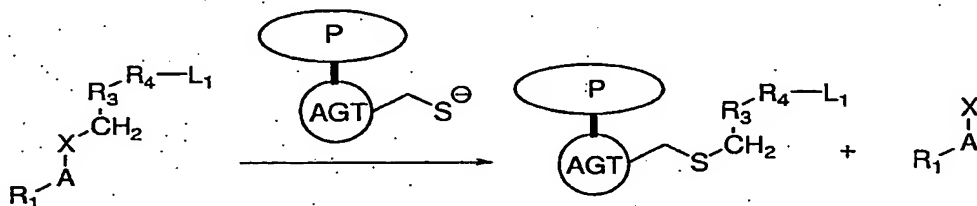
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(54) Title: SPECIFIC SUBSTRATES FOR O<sup>6</sup>-ALKYLGUANINE-DNA ALKYLTRANSFERASE



(57) Abstract: The invention relates to substrates for O<sup>6</sup>-alkylguanine-DNA alkyltransferases (AGT) of formula R<sub>1</sub>-A-X-CH<sub>2</sub>-R<sub>3</sub>-R<sub>4</sub>-L<sub>1</sub>, wherein A is a group recognized by AGT as a substrate, X is oxygen or sulfur, R<sub>1</sub> is a group -R<sub>2</sub>-L<sub>2</sub> or a group R<sub>5</sub>, R<sub>2</sub> and R<sub>4</sub> are, independently of each other, a linker, R<sub>3</sub> is an aromatic or a heteroaromatic group, or an optionally substituted unsaturated alkyl, cycloalkyl or heterocyclyl group with the double bond connected to CH<sub>2</sub>, R<sub>5</sub> is arylmethyl or heteroarylmethyl or an optionally substituted cycloalkyl, cycloalkenyl or heterocyclyl group, L<sub>1</sub> is a label, a plurality of same or different labels, a bond connecting R<sub>4</sub> to A forming a cyclic substrate, or a further group -R<sub>3</sub>-CH<sub>2</sub>-X-A-R<sub>1</sub>, and L<sub>2</sub> is a label or a plurality of same or different labels. The invention further relates to methods of transferring a label from these substrates to O<sup>6</sup>-alkylguanine-DNA alkyltransferases (AGT) and AGT fusion proteins.

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